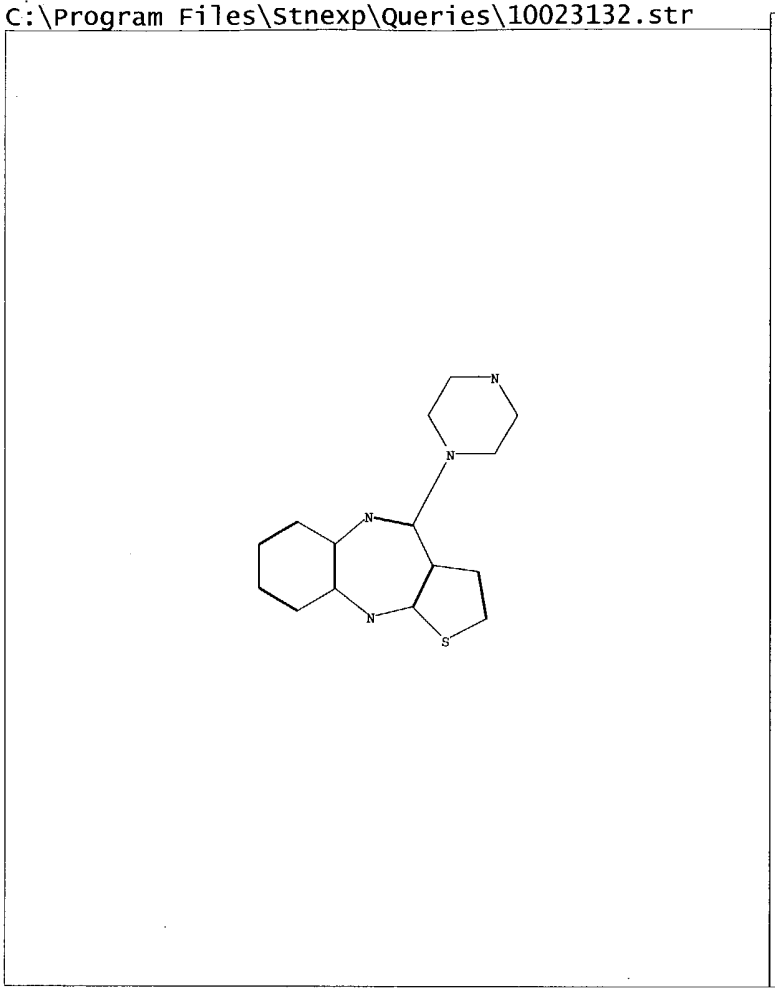
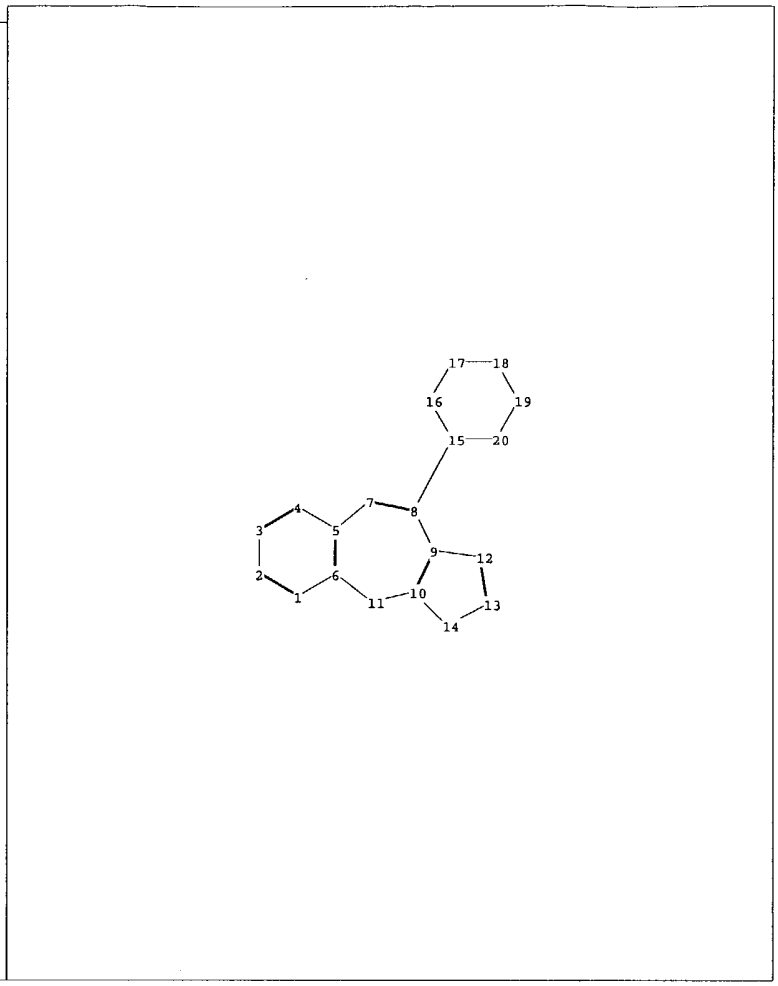
C:\Program Files\Stnexp\Queries\10023132.str

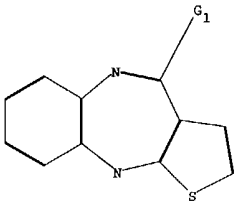




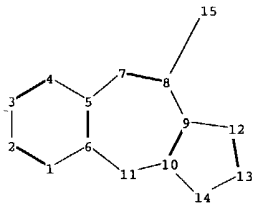
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
chain bonds :
8-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-9 9-10 9-12 10-11 10-14 12-13 13-14
15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
5-7 6-11 7-8 8-9 8-15 9-10 9-12 10-11 10-14 12-13 13-14 15-16 15-20 16-17
17-18 18-19 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

C:\Program Files\Stnexp\Queries\10023132.str



L9



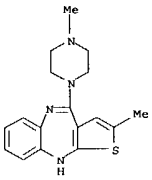

```
chain nodes :
    15
ring nodes :
    1  2  3  4  5  6  7  8  9  10  11  12  13  14
chain bonds :
    8-15
ring bonds :
    1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-11  7-8  8-9  9-10  9-12  10-11  10-14  12-13  13-14
exact/norm bonds :
    5-7  6-11  7-8  8-9  8-15  9-10  9-12  10-11  10-14  12-13  13-14
normalized bonds :
    1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
    containing 1 :


G1:O,S,N

Match level :
    1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom  11:Atom
    12:Atom  13:Atom  14:Atom  15:Atom
```

10/023,132

```
=> d his

     (FILE 'HOME' ENTERED AT 14:48:11 ON 07 APR 2004)

     FILE 'REGISTRY' ENTERED AT 14:48:18 ON 07 APR 2004
L1              STRUCTURE UPLOADED
L2              QUE L1
L3           32 S L2
L4          576 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 14:48:46 ON 07 APR 2004
L5          981 S L4
L6          ANALYZE L5 1- RN HIT :     572 TERMS

     FILE 'REGISTRY' ENTERED AT 14:51:09 ON 07 APR 2004
L7            1 S 132539-06-1/RN
L8              STRUCTURE UPLOADED
L9              QUE L8
L10           3 S L9
L11          77 S L9 SSS FUL

     FILE 'CAPLUS' ENTERED AT 14:54:47 ON 07 APR 2004
L12         40 S L11 AND L7

=> d l7
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y
```

intermediate

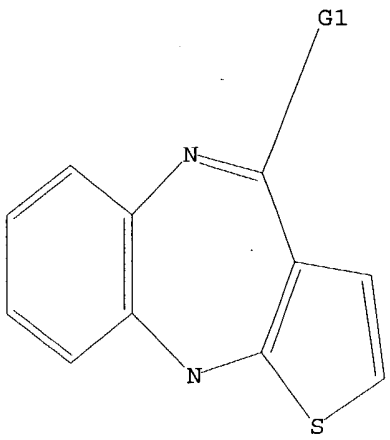
L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN **132539-06-1** REGISTRY
CN 10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN Lanzac
CN LY 170053
CN Olanzapine
CN Zyprexa
FS 3D CONCORD
MF C17 H20 N4 S
CI COM
SR US Adopted Names Council (USAN)
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOBUSINESS, BIOSIS,
BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN,
CSCHEM,
DDFU, DIOGENES, DRUGU, EMBASE, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS,
IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PIRA, PROMT, RTECS*, SYNTHLINE,
TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

944 REFERENCES IN FILE CA (1907 TO DATE)
14 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
954 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d l9
L9 HAS NO ANSWERS
L8 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.
L9 QUE ABB=ON PLU=ON L8

=> d ibib abs hitstr 1-40 l12

L12 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:203552 CAPLUS
TITLE: Process of preparation of olanzapine form I
INVENTOR(S): Patel, Hiren V.; Ray, Anup K.; Patel, Pramod B.; Patel, Mahendra R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Ser. No. 160,958.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004048854	A1	20040311	US 2003-449643	20030530

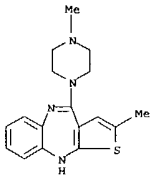
PRIORITY APPLN. INFO.: US 2002-160958 A2 20020531

AB Disclosed is a process for the preparation of polymorph form I of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine) by reacting (a) reacting 4-amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride and 1-methylpiperazine in an aprotic high boiling solvent or mixts. thereof at a temperature of between about 90 to 130°.; (b) purifying the product of step (a) in an acidic medium; (c) basifying the product of step (b) to a pH of between 7.5-9; and (d) extracting the product of step (c) using a low boiling organic solvent. Olanzapine is known as an antipsychotic agent and polymorph form I is in pharmaceutical formulations.

IT **132539-06-1P**, Olanzapine
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process of preparation of olanzapine polymorph form I by reacting 4-amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride and 1-methylpiperazine)

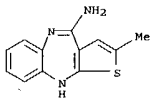
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

L12 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; process of preparation of olanzapine polymorph form I by reacting 4-amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride and 1-methylpiperazine)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride
(9CI) (CA INDEX NAME)



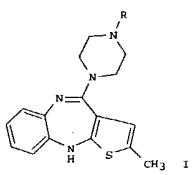
● HCl

L12 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:2889 CAPLUS
DOCUMENT NUMBER: 140:59669
TITLE: A process for the preparation of olanzapine by direct and reductive methylation of N-demethylolanzapine, and N-demethyl-N-formylolanzapine as an intermediate therefor
INVENTOR(S): Majka, Zbigniew; Stawinski, Tomasz; Rechnio, Justyna; Wieczorek, Maciej
PATENT ASSIGNEE(S): Adamed Sp. Z O.O., Pol.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000847	A1	20031231	WO 2003-IB2181	20030610

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: PL 2002-354642 A 20020620
OTHER SOURCE(S): CASREACT 140:59669
GI

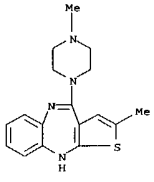


AB The invention relates to an improved process for the preparation of the CNS drug olanzapine, i.e., I [R = Me] (II). The process consists in N-methylation of N-demethylolanzapine, i.e., I [R = H] (III), which is also named 2-methyl-4-piperazin-1-yl-10H-thieno[2,3-b][1,5]benzodiazepine.

L12 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
The process utilizes several different reactions, including both reductive and direct methylation of III. Advantages of the invention include avoidance of hard-to-remove org. solvents, simpler chem. procedures, high yields, purity as good as the prior art, mild conditions, short reaction times, and low reaction temps. For instance, treatment of III with aq. formalin in aq. AcOH contg. NaOAc at 0°, followed by treatment with NaBH4 at 0° under vigorous stirring, gave crude II of 97% purity by HPLC in 97.3% yield. Alternatively, direct methylation of III with MeI and K2CO3 in MeOH at room temp. gave II in 90% purity and 51% yield. The invention also relates to a new intermediate compd., N-demethyl-N-formylolanzapine, i.e., I [R = CHO] (IV), also named 2-methyl-4-(4-formyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine, and to a process for its prepn. Thus, formylation of III with EtOCHO in refluxing THF gave 72.9% yield of IV, which was reduced with NaBH4 as above to give II in 88% purity and 86.9% yield. The starting material III was prepd. in 85.7% yield by condensation of 4-amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine HCl with piperazine in refluxing PhMe/DMSO mixt.

IT **132539-06-1P**, Olanzapine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(improved preparation of olanzapine by methylation or reductive methylation of demethylolanzapine, or via reduction of formyldemethylolanzapine)

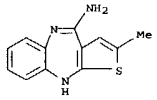
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



IT **138564-60-0**, 4-Amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; improved preparation of olanzapine by methylation or reductive methylation of demethylolanzapine, or via reduction of formyldemethylolanzapine)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride
(9CI) (CA INDEX NAME)

L12 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

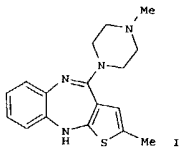
L12 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:972083 CAPLUS
DOCUMENT NUMBER: 140:16753
TITLE: Process of preparation of olanzapine form I
INVENTOR(S): Patel, Hiren V.; Ray, Anup K.; Patel, Pramod B.; Patel, Mahendra R.
PATENT ASSIGNEE(S): Geneva Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101997	A1	20031211	WO 2003-US17186	20030530

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-160958 A 20020531
OTHER SOURCE(S): CASREACT 140:16753
GI

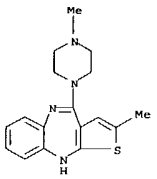


I

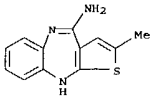
AB The title compound (I), an antipsychotic agent, was prepared from 4-amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride and 1-methylpiperazine. A crystallization method yielded the polymorphic form I in 99.96% HPLC purity.

IT **132539-06-1P**, Olanzapine
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN

L12 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(Synthetic preparation); PREP (Preparation)
(prepn. of olanzapine form I)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of olanzapine form I)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L12 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

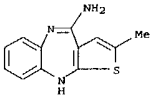
ACCESSION NUMBER: 2003:931370 CAPLUS
DOCUMENT NUMBER: 139:399740
TITLE: Methods for preparation of olanzapine polymorphic form i
INVENTOR(S): Piechaczek, Janina; Glice, Magdalena; Fraczek, Urszula; Serafin, Jadwiga; Szelejewski, Wieslaw; Soltysiak, Krzysztof
PATENT ASSIGNEE(S): Institut Farmaceutyczny, Pol.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097650	A1	20031127	WO 2003-PL44	20030516

W: AT, AU, BA, BG, BR, BY, CA, CH, CN, CZ, EE, ES, FI, GB, HR, HU, IL, IN, JP, KP, KR, KZ, LT, LU, LV, MD, MK, MX, NO, NZ, PL, PT, RO, RU, SE, SK, TR, UA, US, UZ, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: PL 2002-353989 A 20020517
AB The invention relates to the methods for preparation of olanzapine polymorphic Form I. The invention also relates to the new mixed solvates of olanzapine constituting valuable intermediates used in the preparation of substantially pure olanzapine polymorphic Form I.

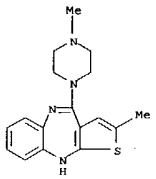
IT **188432-34-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(methods for preparation of olanzapine polymorphic form i)
RN 188432-34-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods for preparation of olanzapine polymorphic form i)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

L12 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L12 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:171904 CAPLUS
DOCUMENT NUMBER: 136:221739
TITLE: Process for preparation of hydrates of olanzapine and their conversion into crystalline forms of olanzapine
INVENTOR(S): Koprowski, Robert; Reguri, Buchi Reddy; Chakka, Ramesh
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018390	A1	20020307	WO 2001-US7258	20010307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001043475	A5	20020313	AU 2001-43475	20010307
EP 1313742	A1	20030528	EP 2001-916449	20010307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001014031	A	20030909	BR 2001-14031	20010307
JP 2004507548	T2	20040311	JP 2002-523905	20010307
NO 2003000926	A	20030424	NO 2003-926	20030227

PRIORITY APPLN. INFO.: IN 2000-MA709 A 20000831
IN 2000-MA711 A 20000831
WO 2001-US7258 W 20010307

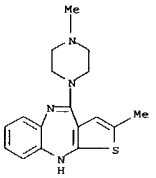
AB The present invention relates to a method for the preparation of hydrates of olanzapine. The present invention also relates to a process for conversion of these hydrates into a pure crystalline form of olanzapine referred to as form-1. The present invention also relates to a method of converting olanzapine form-2 to form-1. Thus, a mixture of 4-amino-2-methyl-10H-thieno-[2,3-b][1,5]benzodiazepine-HCl, N-methylpiperazine, DMSO, and toluene was heated under reflux, the mixture was cooled, and water was added. The olanzapine that was separated was dried to give a product with a moisture content of 5.22%.

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydrates of olanzapine and their conversion into crystalline forms of olanzapine)

RN 132539-06-1 CAPLUS

L12 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

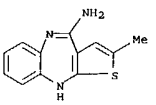
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydrates of olanzapine and their conversion into crystalline forms of olanzapine)

RN 138564-60-0 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride
(9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

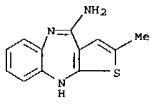
L12 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:807597 CAPLUS
DOCUMENT NUMBER: 137:125141
TITLE: Synthesis of olanzapine
AUTHOR(S): Cen, Junda
CORPORATE SOURCE: Shanghai Institute of Pharmaceutical Industry, Shanghai, 200437, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (2001), 32(9), 391-393
CODEN: ZYGZEA; ISSN: 1001-8255
PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 137:125141

AB Olanzapine was synthesized by condensation of S, propionaldehyde, and malononitrile in the presence of triethylamine to give 2-amino-5-methylthiophene-3-carbonitrile, condensation with 2-chloronitrobenzene in DMF in the presence of LiOH, reduction and ring-closure with SnCl2 to give 4-amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine, condensation with piperazine, and methylation with HCOOH and HCHO in DMSO in an overall yield of 29%.

IT **138564-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of olanzapine)

RN 138564-60-0 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride
(9CI) (CA INDEX NAME)



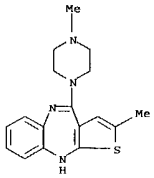
● HCl

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of olanzapine)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

L12 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L12 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:227510 CAPLUS
DOCUMENT NUMBER: 132:256034
TITLE: 2-Methylthienobenzodiazepine formulation
INVENTOR(S): Bunnell, Charles Arthur; Ferguson, Thomas Harry; Hendriksen, Barry Arnold; Sanchez-Felix, Manuel Vicente; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

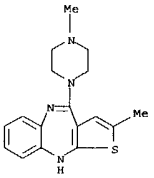
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018408	A1	20000406	WO 1999-US6417	19990324
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6169084	B1	20010102	US 1998-163769	19980930
CA 2344873	AA	20000406	CA 1999-2344873	19990324
AU 9933627	A1	20000417	AU 1999-33627	19990324
AU 759751	B2	20030501		
BR 9914156	A	20010626	BR 1999-14156	19990324
EP 1119359	A1	20010801	EP 1999-915009	19990324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525330	T2	20020813	JP 2000-571926	19990324
NZ 510208	A	20030429	NZ 1999-510208	19990324
ZA 2001002231	A	20020318	ZA 2001-2231	20010316
NO 2001001583	A	20010328	NO 2001-1583	20010328
HR 2001000238	A1	20020430	HR 2001-238	20010329

PRIORITY APPLN. INFO.: US 1998-163768 A 19980930
US 1998-163769 A 19980930
US 1997-60493P P 19970930
WO 1999-US6417 W 19990324

AB The invention provides a pharmaceutically acceptable oleaginous or cholesterol microsphere formulation of olanzapine or olanzapine pamoate or solvates. Thus, olanzapine was prepared and mixed with cholesterol in methylene chloride. An aqueous solution of PVA was added to the above solution and the mixture was passed through 100- and 230-mesh sieves, and the particles thus obtained were allowed to dry.

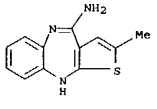
IT **132539-06-1P**, Olanzapine
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(methylthienobenzodiazepine formulations)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylthienobenzodiazepine formulations)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:752863 CAPLUS
DOCUMENT NUMBER: 131:346550
TITLE: Atypical antipsychotic agent-serotonin reuptake inhibitor combinations for therapy of refractory depression
INVENTOR(S): Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 958824	A2	19991124	EP 1999-303969	19990521
EP 958824	A3	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2332814	AA	19991202	CA 1999-2332814	19990521
WO 9961027	A1	19991202	WO 1999-US11276	19990521
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9940086	A1	19991213	AU 1999-40086	19990521
AU 761510	B2	20030605		
BR 9911049	A	20010206	BR 1999-11049	19990521
JP 2002516282	T2	20020604	JP 2000-550487	19990521
NZ 507980	A	20031031	NZ 1999-507980	19990521
HR 2000000797	A1	20011031	HR 2000-797	20001120
NO 2000005885	A	20010117	NO 2000-5885	20001121
ZA 2000006815	A	20020114	ZA 2000-6815	20001121

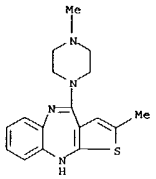
PRIORITY APPLN. INFO.: US 1998-86444P P 19980522
WO 1999-US11276 W 19990521

AB Methods and compns. are provided for the treatment of depressive states refractory to treatment with traditional antidepressive therapies alone. These methods and compns. employ a compound having activity as an atypical antipsychotic (e.g. olanzapine) and a serotonin reuptake inhibitor (e.g. fluoxetine). This invention also provides methods of providing rapid onset treatments of major depression which employing a compound having activity as an atypical antipsychotic and a serotonin reuptake inhibitor.

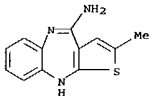
IT **132539-06-1P**, Olanzapine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(atypical antipsychotic agent-serotonin reuptake inhibitor combinations for therapy of refractory depression)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; atypical antipsychotic agent-serotonin reuptake inhibitor combinations for therapy of refractory depression)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:425470 CAPLUS
DOCUMENT NUMBER: 131:78439
TITLE: Oral formulations containing olanzapine
INVENTOR(S): Cochran, George Randall; Morris, Tommy Clifford
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 7 pp., Cont.-in-part of U.S. Ser. No. 410,465, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

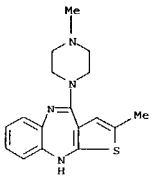
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919485	A	19990706	US 1996-716922	19960920
CA 2216372	AA	19961003	CA 1996-2216372	19960322
WO 9629995	A1	19961003	WO 1996-US3918	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9654280	A1	19961016	AU 1996-54280	19960322
AU 696601	B2	19980917		
ZA 9602338	A	19970922	ZA 1996-2338	19960322
GB 2313783	A1	19971210	GB 1997-19817	19960322
GB 2313783	B2	19981118		
DE 19681287	T	19980319	DE 1996-19681287	19960322
CN 1179102	A	19980415	CN 1996-192778	19960322
BR 9607791	A	19980707	BR 1996-7791	19960322
AT 9609022	A	19990215	AT 1996-9022	19960322
AT 405606	B	19991025		
JP 11502848	T2	19990309	JP 1996-529533	19960322
TW 426526	B	20010321	TW 1996-85103453	19960322
CH 691217	A	20010531	CH 1997-2246	19960322
AT 206924	E	20011115	AT 1996-301997	19960322
EE 3551	B1	20011217	EE 1997-328	19960322
ES 2164837	T3	20020301	ES 1996-301997	19960322
PT 733367	T	20020328	PT 1996-96301997	19960322
IL 117611	A1	20020523	IL 1996-117611	19960322
RO 118370	B1	20030530	RO 1997-1776	19960322
SK 283745	B6	20031202	SK 1997-1282	19960322
SE 9703206	A	19970905	SE 1997-3206	19970905
LT 4350	B	19980525	LT 1997-149	19970916
FI 9703749	A	19970922	FI 1997-3749	19970922
NO 9704363	A	19971117	NO 1997-4363	19970922
DK 9701090	A	19971112	DK 1997-1090	19970923
DK 173323	B1	20000724		
LV 11983	B	19980720	LV 1997-199	19971014
US 6190698	B1	20010220	US 1998-144188	19980831
US 2001018071	A1	20010830	US 2001-766218	20010119

PRIORITY APPLN. INFO.: US 1995-410465 B2 19950324
WO 1996-US3918 W 19960322

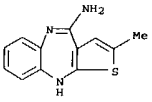
L12 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
US 1996-716922 A3 19960920
US 1998-144188 A3 19980831

AB The invention provides a pharmaceutically acceptable solid oral formulation of olanzapine and a process for making such formulation. A preferred formulation of the invention is a solid oral formulation comprising 1-20 mg olanzapine, wherein such solid oral formulation is coated with hydroxypropyl Me cellulose. The coating provides a phys. stability and effectively prevents the undesired discoloration phenomenon in the formulation.

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Form II polymorph; polymer-coated oral formulations containing olanzapine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of olanzapine and polymer-coated tablet formulations for)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:233762 CAPLUS
DOCUMENT NUMBER: 130:257362
TITLE: Methylthienobenzodiazepine derivative antipsychotic drug formulation.
INVENTOR(S): Allen, Douglas James; Dekemper, Kurt Douglas; Ferguson, Thomas Harry; Garvin, Stuart James; Murray, Linda Cameron; Brooks, Norman Dale; Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Mascarenhas, Snehlata Singh; Shinkle, Sharon Louise; Sanchez-Felix, Manuel Vicente; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

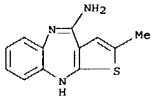
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916313	A1	19990408	WO 1998-US20426	19980930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304568	AA	19990408	CA 1998-2304568	19980930
AU 9895914	A1	19990423	AU 1998-95914	19980930
AU 752552	B2	20020919		
EP 1018880	A1	20000719	EP 1998-949632	19980930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9813228	A	20000829	BR 1998-13228	19980930
JP 2001517685	T2	20011009	JP 2000-513467	19980930
NZ 503641	A	20020927	NZ 1998-503641	19980930
MX 200003040	A	20001110	MX 2000-3040	20000328
NO 2000001631	A	20000530	NO 2000-1631	20000329
HR 2000000181	A1	20001231	HR 2000-181	20000331
US 2003027816	A1	20030206	US 2002-136887	20020501
US 6617321	B2	20030909		

PRIORITY APPLN. INFO.: US 1997-60493P P 19970930
WO 1998-US20426 W 19980930
US 2000-509757 B1 20000329

AB The invention provides a pharmaceutically acceptable oleaginous or cholesterol microsphere formulation of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine) (preparation given) or olanzapine pamoate or solvates thereof. The invention further provides novel olanzapine pamoate salts or solvates thereof.

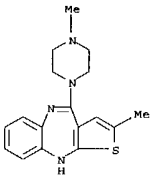
IT **138564-60-0P**

L12 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in prepn. of olanzapine)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:233761 CAPLUS
DOCUMENT NUMBER: 130:276761
TITLE: Method for treating sexual dysfunction using 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5] benzodiazepine
INVENTOR(S): Van Tran, Pierre
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

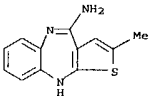
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916312	A1	19990408	WO 1998-US20152	19980925
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304472	AA	19990408	CA 1998-2304472	19980925
AU 9895834	A1	19990423	AU 1998-95834	19980925
JP 2001517684	T2	20011009	JP 2000-513466	19980925
ZA 9808840	A	20000328	ZA 1998-8840	19980928
US 2002040021	A1	20020404	US 1998-162311	19980928
US 6432943	B1	20020813		
EP 911028	A2	19990428	EP 1998-307950	19980930
EP 911028	A3	19990506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1997-60415P P 19970930
WO 1998-US20152 W 19980925

AB The invention provides a method for treating a sexual dysfunction comprising administering an effective amount of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5] benzodiazepine. Preparation of the compound of the invention is described, and pharmaceutical compns. are included.

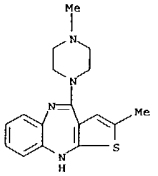
IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; thienobenzodiazepine derivative for sexual dysfunction treatment, preparation, and compns.)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

IT **132539-06-1D**, form I
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(thienobenzodiazepine derivative for sexual dysfunction treatment, preparation, and compns.)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



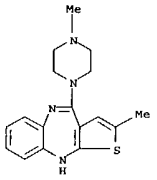
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thienobenzodiazepine deriv. for sexual dysfunction treatment, prepn., and compns.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:18019 CAPLUS
DOCUMENT NUMBER: 130:217570
TITLE: Characterization of olanzapine (LY170053) in human liver slices by liquid chromatography/tandem mass spectrometry
AUTHOR(S): Murphy, A. T.; Lake, B. G.; Bernstein, J. R.; Franklin, R. B.; Gillespie, T. A.
CORPORATE SOURCE: Department of Drug Metabolism and Disposition, Lilly Research Laboratories, Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Journal of Mass Spectrometry (1998), 33(12), 1237-1245
CODEN: JMSPFJ; ISSN: 1076-5174
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Olanzapine metabolism was investigated by incubation with human liver slices.
Olanzapine metabolites were identified to determine if the human liver slice incubations could potentially produce quantities of the olanzapine glucuronides for future studies. Along with known Phase 1 olanzapine metabolites (N-demethyl-, 2-hydroxymethylolanzapine, and the 4'-N-oxide), a new hydroxylated species was detected. Phase 2 metabolites detected included known N-10-glucuronides, a quaternary glucuronide and a novel glucuronide conjugate. This investigation showed the feasibility of using human liver slices to produce sufficient quantities of olanzapine glucuronides for further studies.

IT **132539-06-1**, Olanzapine
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(liver of humans metabolism of)

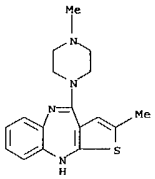
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



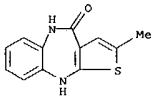
IT **132539-06-1D**, Olanzapine, glucuronides **221176-49-4**, LY

L12 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
301664
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)
(olanzapine metab. by human liver formation of)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 221176-49-4 CAPLUS
CN 4H-Thieno[2,3-b][1,5]benzodiazepin-4-one, 5,10-dihydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:708815 CAPLUS
DOCUMENT NUMBER: 129:335734
TITLE: Pharmaceutical compositions containing olanzapine for treatment of amyotrophic lateral sclerosis
INVENTOR(S): Bymaster, Franklin Porter; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846596	A1	19981022	WO 1998-US6932	19980408
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9869559	A1	19981111	AU 1998-69559	19980408
EP 872238	A2	19981021	EP 1998-302789	19980409
EP 872238	A3	19981028		
EP 872238	B1	20020306		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1155696	A2	20011121	EP 2001-202986	19980409
EP 1155696	A3	20020522		
EP 1155696	B1	20040303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI, RO				
AT 213945	E	20020315	AT 1998-302789	19980409
ES 2173550	T3	20021016	ES 1998-302789	19980409
US 2003022889	A1	20030130	US 2002-228618	20020827

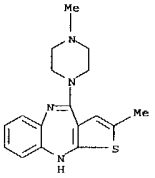
PRIORITY APPLN. INFO.: US 1997-43094P P 19970415
WO 1998-US6932 W 19980408
EP 1998-302789 A3 19980409
US 2000-485360 B3 20000821

AB Pharmaceutical compns. for treating amyotrophic lateral sclerosis and for providing a neuro-protective effect comprise administering a therapeutically effective of olanzapine (I) or a pharmaceutically acceptable salt or solvate thereof. A suspension of I (preparation given) in Et acetate was heated at 76° for 30 min., then it was allowed to cool to 25°. Form II I which was isolated by filtration had potency ≥97%. Formulation of a tablet containing I was given.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. containing olanzapine for treatment of amyotrophic lateral sclerosis)

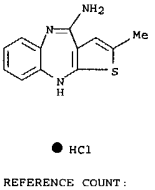
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

L12 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical compns. containing olanzapine for treatment of amyotrophic lateral sclerosis)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:706091 CAPLUS
DOCUMENT NUMBER: 129:298403
TITLE: Method for treating cerebral focal stroke with olanzapine
INVENTOR(S): Bymaster, Franklin Porter; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

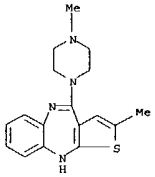
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846230	A1	19981022	WO 1998-US7154	19980408
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9802917	A	19991006	ZA 1998-2917	19980406
AU 9868961	A1	19981111	AU 1998-68961	19980408
EP 872239	A2	19981021	EP 1998-302794	19980409
EP 872239	A3	19981028		
EP 872239	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ES 2158647	T3	20010901	ES 1998-302794	19980409
GR 3036260	T3	20011031	GR 2001-401109	20010724

PRIORITY APPLN. INFO.: US 1997-43095P P 19970415
WO 1998-US7154 W 19980408

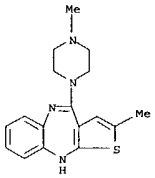
AB A method is provided for treating cerebral focal stroke comprising administering a therapeutically effective dosage of olanzapine or a pharmaceutically acceptable salt or solvate thereof. Preparation of form II olanzapine polymorph is described.

IT **132539-06-1DP**, Olanzapine, form II polymorph
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for cerebral focal stroke treatment)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

L12 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

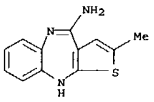


IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for cerebral focal stroke treatment)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; olanzapine for cerebral focal stroke treatment)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride
(9CI) (CA INDEX NAME)

L12 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

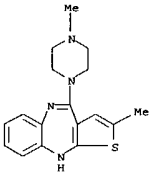
L12 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:653544 CAPLUS
DOCUMENT NUMBER: 129:286009
TITLE: 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine for treatment of psychoactive substance disorders
INVENTOR(S): Beasley, Charles M., Jr.; Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Eli Lilly and Company Limited
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. 5,605,897.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817657	A	19981006	US 1996-748294	19961113
US 5229382	A	19930720	US 1992-890348	19920522
US 5605897	A	19970225	US 1995-387498	19950213

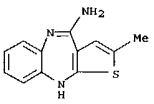
PRIORITY APPLN. INFO.: US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
US 1995-387498 19950213
GB 1990-9229 19900425

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (preparation described), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders relating to the use of psychoactive substances.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methyl(methylpiperazinyl)thienobenzodiazepine, preparation, pharmaceutical formulations, and treatment of psychoactive substance disorders)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



L12 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
IT **138564-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction;
methyl(methylpiperazinyl)thienobenzodiazepine,
preparation, pharmaceutical formulations, and treatment of psychoactive
substance disorders)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

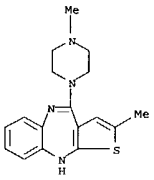
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:653543 CAPLUS
DOCUMENT NUMBER: 129:286008
TITLE: 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine for treatment of mental disorders
INVENTOR(S): Beasley, Charles M., Jr.; Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Eli Lilly and Company Limited
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. 5,605,897.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

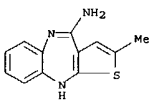
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817656	A	19981006	US 1996-748293	19961113
US 5229382	A	19930720	US 1992-890348	19920522
US 5605897	A	19970225	US 1995-387498	19950213
PRIORITY APPLN. INFO.:			US 1991-690143	19910423
			US 1992-890348	19920522
			US 1993-44844	19930408
			US 1995-387498	19950213
			GB 1990-9229	19900425

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (preparation described), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of mental disorders.
IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methyl(methylpiperazinyl)thienobenzodiazepine, preparation, pharmaceutical formulations, and use for treatment of mental disorders)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **138564-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction;
methyl(methylpiperazinyl)thienobenzodiazepine,
preparation, pharmaceutical formulations, and use for treatment of mental
disorders)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

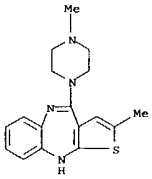
applicants

L12 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:653542 CAPLUS
DOCUMENT NUMBER: 129:270629
TITLE: Methods of treatment of psychotic conditions using a thieno-benzodiazepine
INVENTOR(S): Chakrabarti, Jiban Kumar; Hotten, Terrence Micharl; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; ELI LILLY AND COMPANY LIMITED
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. 5,627,178.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

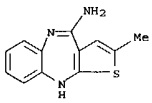
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817655	A	19981006	US 1996-748292	19961113
US 5229382	A	19930720	US 1992-890348	19920522
US 5627178	A	19970506	US 1995-387997	19950213
US 6008216	A	19991228	US 1998-122294	19980724
PRIORITY APPLN. INFO.:			US 1991-690143	19910423
			US 1992-890348	19920522
			US 1993-44844	19930408
			US 1995-387997	19950213
			GB 1990-9229	19900425
			US 1996-748292	19961113

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (I), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders of the central nervous system. The results of pharmacol. tests show that I (preparation given) is an
antagonist of dopamine at D-1 and D-2 receptors, has antimuscarinic anticholinergic properties, and antagonist activity at 5HT-2 receptor sites. It also has antagonist activity at noradrenergic α-receptors. Overall in clin. situations, I showed marked superiority and a better side effects profile than prior art antipsychotic
agents, and had a highly advantageous activity level.
IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of psychotic conditions using thieno-benzodiazepine compound)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



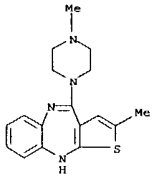
IT **138564-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of psychotic conditions using thieno-benzodiazepine compound)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **132539-06-1D**, acid addition salts
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of psychotic conditions using thieno-benzodiazepine compound)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L12 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

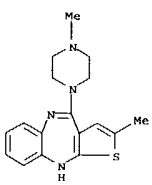
ACCESSION NUMBER: 1998:263237 CAPLUS
DOCUMENT NUMBER: 128:312930
TITLE: Olanzapine for treating insomnia
INVENTOR(S): Van Tran, Pierre
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5744470	A	19980428	US 1997-799052	19970210

PRIORITY APPLN. INFO.: US 1997-799052 19970210

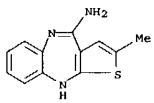
AB The invention provides a method for treating insomnia comprising administering an effective amount of olanzapine to an elderly patient who has been previously treated with a hypnotic agent. 2-Methyl-10H-thieno[2,3-b][1,5]benzodiazepin-4-amine·HCl was treated with N-methylpiperazine to obtain olanzapine, which was suspended in anhydrous EtOAc while heating and the product was isolated using vacuum filtration. The product was identified as Form II using x-ray powder anal. A tablet was formulated containing 1.18 % olanzapine.

IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for treating insomnia)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(olanzapine for treating insomnia)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L12 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:263236 CAPLUS
DOCUMENT NUMBER: 129:8586
TITLE: Method for treating dermatitis
INVENTOR(S): Tran, Pierre V.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

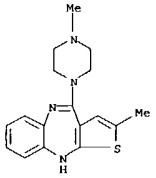
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5744469	A	19980428	US 1996-756996	19961126

PRIORITY APPLN. INFO.: US 1996-756996 19961126

AB The invention provides a method for treating fungal dermatitis comprising administering an effective amount of 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (I) to a patient in need thereof. I was prepared from 2-methyl-4-amino-10H-thieno[2,3-b][1,5]benzodiazepine-HCl and N-methylpiperazine. Tablets containing I were prepared

IT **132539-06-1P**
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(piperazinyl thienobenzodiazepine derivative for fungal dermatitis treatment)

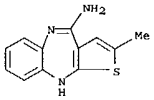
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(piperazinyl thienobenzodiazepine derivative for fungal dermatitis treatment)

RN 138564-60-0 CAPLUS

L12 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:204464 CAPLUS
DOCUMENT NUMBER: 128:275100
TITLE: Intermediates and process for preparing olanzapine
INVENTOR(S): Bunnell, Charles Arthur; Larsen, Samuel Dean; Nichols, John Richard; Reutzel, Susan Marie; Stephenson, Gregory Alan
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 831098	A2	19980325	EP 1997-307383	19970922
EP 831098	A3	19980429		
EP 831098	B1	20011121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ZA 9708515	A	19990323	ZA 1997-8515	19970902
WO 9812199	A1	19980326	WO 1997-US16499	19970918
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744841	A1	19980414	AU 1997-44841	19970918
AU 719441	B2	20000511		
BR 9712100	A	19990831	BR 1997-12100	19970918
CN 1234802	A	19991110	CN 1997-198137	19970918
CN 1122036	B	20030924		
NZ 334448	A	20000825	NZ 1997-334448	19970918
JP 2001500877	T2	20010123	JP 1998-514842	19970918
IL 128962	A1	20030112	IL 1997-128962	19970918
IN 187156	A	20020216	IN 1997-CA1736	19970919
AT 209208	E	20011215	AT 1997-307383	19970922
ES 2166051	T3	20020401	ES 1997-307383	19970922
US 6020487	A	20000201	US 1997-935884	19970923
TW 470746	B	20020101	TW 1997-86113832	19980227
HK 1009807	A1	20020913	HK 1998-110796	19980921
NO 9901382	A	19990322	NO 1999-1382	19990322
KR 2000048520	A	20000725	KR 1999-702424	19990322

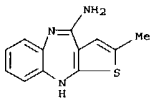
PRIORITY APPLN. INFO.: US 1996-26487P P 19960923
WO 1997-US16499 W 19970918

AB The present invention provides a process for preparing olanzapine and dihydrate polymorphs. Olanzapine was prepared from a known intermediate and later converted to its dihydrate. The x-ray powder anal. of the compound was carried out.

IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediates and process for preparing olanzapine)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride

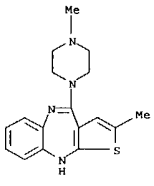
L12 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



● HCl

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(intermediates and process for preparing olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:650271 CAPLUS
DOCUMENT NUMBER: 127:298752
TITLE: Olanzapine for treatment of pain
INVENTOR(S): Helton, David R.; Kallman, Mary J.; Shannon, Harlan E.; Womer, Daniel E.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735583	A1	19971002	WO 1997-US4626	19970324
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248873	AA	19971002	CA 1997-2248873	19970324
AU 9723408	A1	19971017	AU 1997-23408	19970324
AU 721338	B2	20000629		
EP 910381	A1	19990428	EP 1997-916159	19970324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1219878	A	19990616	CN 1997-194952	19970324
BR 9708246	A	19990727	BR 1997-8246	19970324
US 6258807	B1	20010710	US 1997-823460	19970324
JP 2001517202	T2	20011002	JP 1997-534509	19970324
NO 9804446	A	19981125	NO 1998-4446	19980924
KR 2000004964	A	20000125	KR 1998-7568	19980924

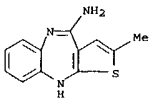
PRIORITY APPLN. INFO.: US 1996-14131P P 19960325
US 1996-14133P P 19960325
US 1996-14153P P 19960325
WO 1997-US4626 W 19970324

AB The present invention provides a method for treating pain comprising administering an analgesic dosage of olanzapine or its polymorph. Olanzapine was prepared by reaction of 2-methyl-4-amino-10H-thieno[2,3-b][1,5]-benzodiazepine with N-methylpiperazine in DMSO. Olanzapine tablets were prepared by using a coating solution of 10% HPMC.

IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(analgesic compns. containing olanzapine)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

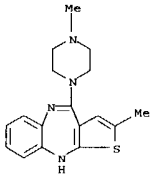
L12 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(analgesic compns. containing olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:650270 CAPLUS
DOCUMENT NUMBER: 127:298751
TITLE: Method for treating migraine pain
INVENTOR(S): Shannon, Harlan E.; Womer, Daniel E.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735582	A1	19971002	WO 1997-US4471	19970324
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250186	AA	19971002	CA 1997-2250186	19970324
AU 9725845	A1	19971017	AU 1997-25845	19970324
AU 721290	B2	20000629		
CN 1219876	A	19990616	CN 1997-194950	19970324
CN 1106196	B	20030423		
BR 9708145	A	19990727	BR 1997-8145	19970324
US 5929070	A	19990727	US 1997-823457	19970324
EP 932407	A1	19990804	EP 1997-917556	19970324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
NZ 332037	A	20010126	NZ 1997-332037	19970324
JP 2001508759	T2	20010703	JP 1997-534491	19970324
IL 126063	A1	20020421	IL 1997-126063	19970324
NO 9804432	A	19981124	NO 1998-4432	19980923
KR 2000004966	A	20000125	KR 1998-7570	19980924

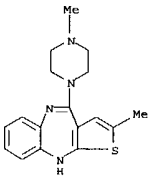
PRIORITY APPLN. INFO.: US 1996-14127P P 19960325
WO 1997-US4471 W 19970324

AB The present invention provides a method for treating migraine pain comprising administering an analgesic dosage of olanzapine. Olanzapine was prepared and a polymorphic form prepared and characterized. Tablet formulations were given.

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine compns. for treatment of migraine pain)

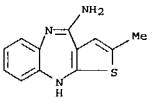
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **138564-60-0**, 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(olanzapine compns. for treatment of migraine pain)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:632496 CAPLUS
DOCUMENT NUMBER: 127:268052
TITLE: Olanzapine for the treatment of insomnia
INVENTOR(S): Van Tran, Pierre
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 795330	A1	19970917	EP 1997-301534	19970307
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9701899	A	19980907	ZA 1997-1899	19970305
CA 2248758	AA	19970918	CA 1997-2248758	19970307
WO 9733587	A1	19970918	WO 1997-US3592	19970307
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9721989	A1	19971001	AU 1997-21989	19970307
AU 724245	B2	20000914		
CN 1212627	A	19990331	CN 1997-192796	19970307
BR 9708181	A	19990727	BR 1997-8181	19970307
JP 2000506528	T2	20000530	JP 1997-532707	19970307
NZ 331846	A	20000728	NZ 1997-331846	19970307
NO 9804190	A	19980911	NO 1998-4190	19980911

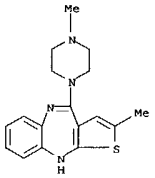
PRIORITY APPLN. INFO.: US 1996-13126P P 19960311
GB 1996-6731 A 19960329
WO 1997-US3592 W 19970307

AB The invention discloses the use of olanzapine for treating insomnia. The preparation and polymorphic form of olanzapine were given and tablets were prepared

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for the treatment of insomnia)

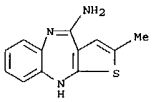
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(olanzapine for the treatment of insomnia)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:623040 CAPLUS
DOCUMENT NUMBER: 127:268044
TITLE: Olanzapine for treating autism and mental retardation
INVENTOR(S): Beasley, Charles M., Jr.; Tollefson, Gary D.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Beasley, Charles M. Jr.; Tollefson, Gary D.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733585	A1	19970918	WO 1996-US19576	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248741	AA	19970918	CA 1996-2248741	19961204
AU 9711501	A1	19971001	AU 1997-11501	19961204
AU 709181	B2	19990826		
CN 1213970	A	19990414	CN 1996-180207	19961204
BR 9612552	A	19990720	BR 1996-12552	19961204
EP 946179	A1	19991006	EP 1996-942934	19961204
EP 946179	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
JP 2000506860	T2	20000606	JP 1997-532571	19961204
NZ 324615	A	20000825	NZ 1996-324615	19961204
AT 249832	E	20031015	AT 1996-942934	19961204
NO 9804197	A	19981103	NO 1998-4197	19980911

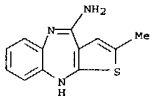
PRIORITY APPLN. INFO.: US 1996-13162P P 19960311
WO 1996-US19576 W 19961204

AB The invention provides a method for treating autistic disorder and/or mental retardation comprising administering an effective amount of olanzapine (I) to a patient in need thereof. I is preferably in Form II polymorph and orally administered. I was suspended in anhydrous EtOAc, heated to 76°, cooled to 25°, and isolated using vacuum filtration. The product was identified as Form II using X-ray powder anal. I was formulated into tablets.

IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(olanzapine for treating autism and mental retardation)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

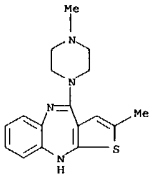
L12 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for treating autism and metal retardation)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:623039 CAPLUS
DOCUMENT NUMBER: 127:268043
TITLE: Olanzapine for treating excessive aggression
INVENTOR(S): Beasley, Charles M., Jr.; Tran, Pierre V.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Tran, Pierre V.
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733584	A1	19970918	WO 1996-US19573	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248753	AA	19970918	CA 1996-2248753	19961204
AU 9712846	A1	19971001	AU 1997-12846	19961204
AU 719517	B2	20000511		
EP 900085	A1	19990310	EP 1996-943659	19961204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1213969	A	19990414	CN 1996-180206	19961204
CN 1124847	B	20031022		
BR 9612549	A	19990720	BR 1996-12549	19961204
JP 2000506858	T2	20000606	JP 1997-532569	19961204
NZ 325035	A	20010629	NZ 1996-325035	19961204
RO 117347	B1	20020228	RO 1998-1386	19961204
IL 126157	A1	20020912	IL 1996-126157	19961204
NO 9804198	A	19981102	NO 1998-4198	19980911

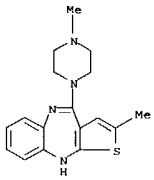
PRIORITY APPLN. INFO.: US 1996-13127P P 19960311
WO 1996-US19573 W 19961204

AB The invention provides a method for treating extreme aggression comprising administering an effective amount of olanzapine to a patient in need thereof.

IT **132539-06-1**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(crystal polymorph II; olanzapine for treating excessive aggression)

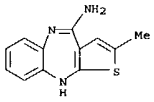
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

L12 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(olanzapine for treating excessive aggression)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:623032 CAPLUS
DOCUMENT NUMBER: 127:283397
TITLE: Pharmaceutical compositions for treating bipolar disorder containing olanzapine
INVENTOR(S): Beasley, Charles M., Jr.; Tollefson, Gary D.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Tollefson, Gary D.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733577	A1	19970918	WO 1996-US19575	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9713307	A1	19971001	AU 1997-13307	19961204
EP 889725	A1	19990113	EP 1996-944772	19961204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1213966	A	19990414	CN 1996-180183	19961204
BR 9612548	A	19990720	BR 1996-12548	19961204
JP 2000506859	T2	20000606	JP 1997-532570	19961204
NZ 326031	A	20010525	NZ 1996-326031	19961204
NO 9804189	A	19980911	NO 1998-4189	19980911

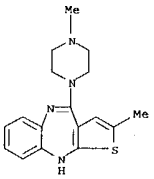
PRIORITY APPLN. INFO.: US 1996-13159P P 19960311
WO 1996-US19575 W 19961204

AB A method for treating bipolar disorder comprising administering an effective amount of olanzapine (I) to a patient in need thereof. Addnl., the present invention provides a method for treating bipolar disorder, major depressive episode. I was prepared by the reaction of 2-methyl-4-amino-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride with N-methylpiperazine in DMSO. Preparation of coated pharmaceutical tablets containing I were disclosed.

IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. for treating bipolar disorder containing olanzapine)

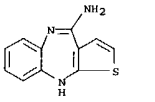
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **196875-05-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical compns. for treating bipolar disorder containing olanzapine)

RN 196875-05-5 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:503273 CAPLUS
DOCUMENT NUMBER: 127:126642
TITLE: Method for treating depression
INVENTOR(S): Tollefson, Gary D.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Tollefson, Gary D.
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

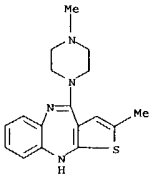
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723220	A1	19970703	WO 1996-US19574	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241153	AA	19970703	CA 1996-2241153	19961204
AU 9712847	A1	19970717	AU 1997-12847	19961204
AU 705834	B2	19990603		
EP 868185	A1	19981007	EP 1996-943660	19961204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1205637	A	19990120	CN 1996-199221	19961204
NZ 325036	A	20010629	NZ 1996-325036	19961204
US 5958921	A	19990928	US 1998-91539	19980618
NO 9802911	A	19980622	NO 1998-2911	19980622

PRIORITY APPLN. INFO.: US 1995-9173P P 19951222
WO 1996-US19574 W 19961204

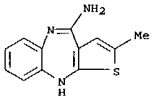
AB The invention provides a method for treating depressive signs and symptoms comprising administering an effective amount of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine to a patient in need thereof.

IT **132539-06-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and antidepressant activity of methyl(methylpiperazinyl)thienob enzodiazepine and tablet formulation)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antidepressant activity of methyl(methylpiperazinyl)thienob enzodiazepine and tablet formulation)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

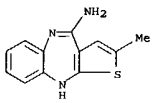
L12 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:503266 CAPLUS
DOCUMENT NUMBER: 127:117375
TITLE: 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine for treating fungal dermatitis
INVENTOR(S): Tran, Pierre V.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Tran, Pierre V.
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723221	A1	19970703	WO 1996-US20048	19961216
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240836	AA	19970703	CA 1996-2240836	19961216
AU 9713353	A1	19970717	AU 1997-13353	19961216
JP 2000502346	T2	20000229	JP 1997-523755	19961216
EP 783890	A1	19970716	EP 1996-309201	19961217
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1995-8987P P 19951221
WO 1996-US20048 W 19961216

AB A method for treating fungal dermatitis comprises administering an effective amount of 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (I) to a patient in need thereof. The effectiveness of I was shown in a clin. trial. Preparation of I is described. A tablet formulation is included.

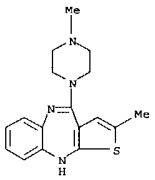
IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; thienobenzodiazepine derivative for fungal dermatitis treatment)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thienobenzodiazepine derivative for fungal dermatitis treatment)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:403057 CAPLUS
DOCUMENT NUMBER: 127:13469
TITLE: Olanzapine for treatment of obsessive-compulsive disorder
INVENTOR(S): Beasley, Charles Merritt, Jr.; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Brit. UK Pat. Appl., 18 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

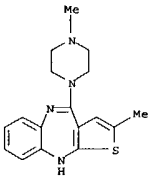
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2305859	A1	19970423	GB 1996-6614	19960329

PRIORITY APPLN. INFO.: GB 1996-6614 19960329

AB Olanzapine is useful in the treatment of obsessive-compulsive disorder. The olanzapine may be the form II olanzapine polymorph. Preparation of the polymorph is described. Preparation of a tablet formulation is also included.

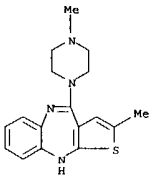
IT **132539-06-1**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(olanzapine for treatment of obsessive-compulsive disorder)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



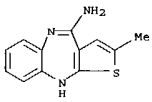
IT **132539-06-1D**, Olanzapine, form II polymorph
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(olanzapine polymorph for treatment of obsessive-compulsive disorder)

L12 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; olanzapine for treatment of obsessive-compulsive disorder)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:332391 CAPLUS
DOCUMENT NUMBER: 126:308810
TITLE: Pharmaceutical compositions for treating a tic disorder
INVENTOR(S): Beasley, Charles M., Jr.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA; Beasley, Charles M., Jr.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711700	A1	19970403	WO 1996-US14090	19960827
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
CA 2232559	AA	19970403	CA 1996-2232559	19960827
AU 9670131	A1	19970417	AU 1996-70131	19960827
EP 852496	A1	19980715	EP 1996-931453	19960827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11512705	T2	19991102	JP 1996-513436	19960827
US 6274636	B1	20010814	US 1999-242418	19990216

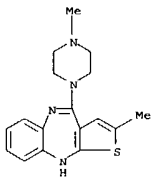
PRIORITY APPLN. INFO.: US 1995-5176P P 19950929
WO 1996-US14090 W 19960827

AB A pharmaceutical composition for treating a tic disorder comprise administering an effective amount of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (preparation given) (I). A tablet contained I 10.0, magnesium stearate 0.9, microcryst. cellulose 75.0, povidone 25.0, and starch 204.1 mg.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. for treating tic disorder)

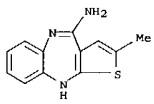
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

L12 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical compns. for treating tic disorder)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

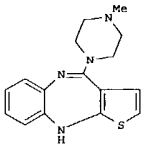
10/023,132
applicant

L12 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:324780 CAPLUS
DOCUMENT NUMBER: 127:5106
TITLE: Preparation of 2-methylthienobenzodiazepine as central
nervous system agent.
INVENTOR(S): Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.
PATENT ASSIGNEE(S): Lilly Industries Ltd., UK
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5627178	A	19970506	US 1995-387997	19950213
US 5229382	A	19930720	US 1992-890348	19920522
US 5817655	A	19981006	US 1996-748292	19961113
US 6008216	A	19991228	US 1998-122294	19980724

PRIORITY APPLN. INFO.:
US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
GB 1990-9229 19900425
US 1995-387997 19950213
US 1996-748292 19961113

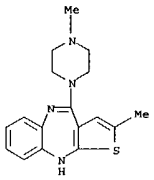
GI



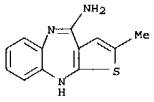
I

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (I), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders of the central nervous system. Compound I is used in the treatment of schizophrenia, catatonic, delusional disorder, brief reactive psychosis, manic depression, anxiety disorder, post-traumatic stress disorder, obsessive compulsive disorder, delusions, hallucinations, and disorganized behavior. Thus, 4.3g of 4-amino-2-methyl-10H-thieno[2,3-b]benzodiazepine hydrochloride (preparation given) was reluxed in a mixture of 15 mL of N-methylpiperazine, DMSO, and

L12 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
toluene for 20 h to give 1.65g I. Formulations contg. I were described.
IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-methyl-thieno-benzodiazepine as central nervous system agent)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT **138564-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-methyl-thieno-benzodiazepine as central nervous system agent)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

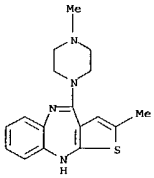
L12 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:169158 CAPLUS
DOCUMENT NUMBER: 126:242879
TITLE: Olanzapine for the treatment of psychological conditions
INVENTOR(S): Beasley, Charles M., Jr.; Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Lilly Industries Ltd.
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5605897	A	19970225	US 1995-387498	19950213
US 5229382	A	19930720	US 1992-890348	19920522
US 5817656	A	19981006	US 1996-748293	19961113
US 5817657	A	19981006	US 1996-748294	19961113

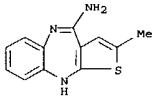
PRIORITY APPLN. INFO.:
US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
GB 1990-9229 19900425
US 1995-387498 19950213

AB Olanzapine (I) or an acid salt thereof, is of particular use in the relatively safe and effective treatment of a wide range of disorders of the central nervous system. I is an antagonist of dopamine at D-1 and D-2 receptors and in addition has antimuscarinic anticholinergic properties and antagonist activity at 5HT-2 receptor sites and at noradrenergic α-receptors. These properties indicate that I is a potential neuroleptic with relaxant, anxiolytic, and anti-emetic properties. Formulations for tablets, capsules, and injections containing I are provided. Clin. studies showed successful results for treatment of schizophrenic patients.
IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for treatment of CNS disorders)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L12 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

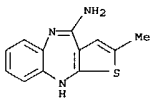


IT **188432-34-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(olanzapine for treatment of CNS disorders)
RN 188432-34-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)



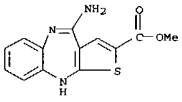
● x HCl

L12 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:56315 CAPLUS
DOCUMENT NUMBER: 126:152692
TITLE: The synthesis and biological activity of some known and putative metabolites of the atypical antipsychotic agent olanzapine (LY170053)
AUTHOR(S): Calligaro, David O.; Fairhurst, John; Hotten, Terrence M.; Moore, Nicholas A.; Tupper, David E.
CORPORATE SOURCE: Lilly Res. Cent. Ltd., Eli Lilly Co., Surrey, GU20 6PH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(1), 25-30
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 4'-N-desmethyl olanzapine, olanzapine 4'-N-oxide and 2-hydroxymethyl olanzapine have been prepared and their pharmacol. compared to that of the parent compound olanzapine. The 4'-N-quaternary glucuronide has also been prepared All metabolites were significantly less active than olanzapine in the tests conducted: binding to neuronal receptors, apomorphine-induced climbing behavior in mice and conditioned avoidance behavior in rats.
IT **138564-60-0P 186792-93-8P 186792-96-1P 186792-97-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and biol. activity of known and putative metabolites of antipsychotic agent olanzapine)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



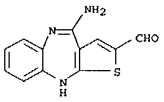
● HCl

L12 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



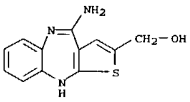
● HCl

RN 186792-96-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine-2-carboxaldehyde, 4-amino-, monohydrochloride (9CI) (CA INDEX NAME)



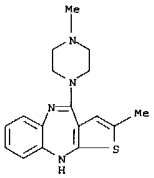
● HCl

RN 186792-97-2 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine-2-methanol, 4-amino- (9CI) (CA INDEX NAME)



IT **132539-06-1**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(synthesis and biol. activity of known and putative metabolites of antipsychotic agent olanzapine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

L12 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

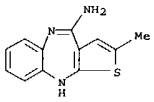


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:689366 CAPLUS
DOCUMENT NUMBER: 125:309062
TITLE: Olanzapine for treatment of dyskinesias
INVENTOR(S): Beasley, Charles Merrit, Jr.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 738514	A1	19961023	EP 1996-302711	19960418
EP 738514	B1	20030827		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5776928	A	19980707	US 1995-422177	19950421
CA 2219902	AA	19961205	CA 1995-2219902	19950530
WO 9638151	A1	19961205	WO 1995-US6859	19950530
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9526936	A1	19961218	AU 1995-26936	19950530
AU 707858	B2	19990722		
EP 828494	A1	19980318	EP 1995-922148	19950530
EP 828494	B1	20020717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV				
CN 1185108	A	19980617	CN 1995-197876	19950530
CN 1131035	B	20031217		
HU 77907	A2	19981028	HU 1998-1173	19950530
JP 11506096	T2	19990602	JP 1995-536420	19950530
RU 2176914	C2	20011220	RU 1997-122082	19950530
AT 220550	E	20020815	AT 1995-922148	19950530
PT 828494	T	20021031	PT 1995-95922148	19950530
ES 2180643	T3	20030216	ES 1995-922148	19950530
CZ 292565	B6	20031015	CZ 1997-3243	19950530
CA 2218062	AA	19961024	CA 1996-2218062	19960418
WO 9632948	A1	19961024	WO 1996-US5390	19960418
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9655555	A1	19961107	AU 1996-55555	19960418
ZA 9603098	A	19971020	ZA 1996-3098	19960418
JP 11504014	T2	19990406	JP 1996-531914	19960418
IL 117971	A1	19991231	IL 1996-117971	19960418

L12 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

L12 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

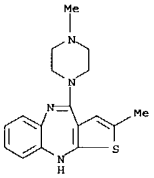
AT 247966	E	20030915	AT 1996-302711	19960418
NO 9704766	A	19971209	NO 1997-4766	19971015
FI 9703987	A	19971017	FI 1997-3987	19971017
US 2002177590	A1	20021128	US 1997-952918	19971125
US 6506746	B2	20030114		
HK 1009393	A1	20030516	HK 1998-110242	19980826

PRIORITY APPLN. INFO.: US 1995-422177 A 19950421
CA 1995-2219902 A 19950530
EP 1995-922148 A 19950530
WO 1995-US6859 W 19950530
WO 1996-US5390 W 19960418

AB Use of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine) or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for treating a dyskinesia, is disclosed. Oral and injection formulations are provided.

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for treatment of dyskinesias)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(olanzapine for treatment of dyskinesias)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:679179 CAPLUS
DOCUMENT NUMBER: 125:309063
TITLE: Olanzapine for treatment of nicotine withdrawal syndromes
INVENTOR(S): Rasmussen, Kurt
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 738515	A1	19961023	EP 1996-302712	19960418
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5696115	A	19971209	US 1995-422202	19950421
CA 2218019	AA	19961024	CA 1996-2218019	19960418
WO 9632947	A1	19961024	WO 1996-US5379	19960418
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9655547	A1	19961107	AU 1996-55547	19960418
ZA 9603108	A	19971020	ZA 1996-3108	19960418
JP 11504012	T2	19990406	JP 1996-531909	19960418
IL 117970	A1	19991222	IL 1996-117970	19960418
TW 429149	B	20010411	TW 1996-85104731	19960420

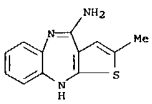
PRIORITY APPLN. INFO.: US 1995-422202 A 19950421
WO 1996-US5379 W 19960418

AB Use of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine) or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for treating a condition resulting from the cessation and withdrawal from the use of nicotine, is disclosed. Formulations containing olanzapine for oral and i.m. administration, are provided.

IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(olanzapine for treatment of nicotine withdrawal syndromes)

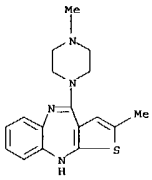
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

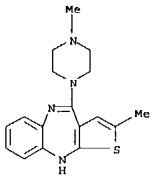
IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(olanzapine for treatment of nicotine withdrawal syndromes)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:660927 CAPLUS
DOCUMENT NUMBER: 125:284961
TITLE: Granule formulation for olanzapine
INVENTOR(S): Lange, Hans Joerg
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

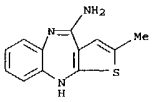
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733368	A1	19960925	EP 1996-301998	19960322
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1995-410265	19950324
			US 1995-426343	19950421

AB The invention provides a pharmaceutically elegant granule formulation of olanzapine and a process for providing a pharmaceutically acceptable liquid formulation of olanzapine. The solid granule formulation comprises olanzapine as an active ingredient, mannitol, hydroxypropyl Me cellulose, and a pharmaceutically acceptable surfactant, provided that the size of the granules is such that not more than 5% are greater than 500 μm and not more than 10% are less than 75 μm. Granules were prepared and packaged in a sachet to have ingredients of olanzapine 2.5, D-mannitol 234.97, hydroxypropyl Me cellulose 12.5, and Polysorbate 20 0.028 mg. The granules can be dissolved in an acidic mineral water or juice.
IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(granule formulation for olanzapine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(granule formulation for olanzapine)
RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:660926 CAPLUS
DOCUMENT NUMBER: 125:284960
TITLE: Oral olanzapine formulation
INVENTOR(S): Cochran, George Randall; Morris, Tommy Clifford
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733367	A1	19960925	EP 1996-301997	19960322
EP 733367	B1	20011017		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2216372	AA	19961003	CA 1996-2216372	19960322
WO 9629995	A1	19961003	WO 1996-US3918	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9654280	A1	19961016	AU 1996-54280	19960322
AU 696601	B2	19980917		
ZA 9602338	A	19970922	ZA 1996-2338	19960322
GB 2313783	A1	19971210	GB 1997-19817	19960322
GB 2313783	B2	19981118		
DE 19681287	T	19980319	DE 1996-19681287	19960322
CN 1179102	A	19980415	CN 1996-192778	19960322
BR 9607791	A	19980707	BR 1996-7791	19960322
AT 9609022	A	19990215	AT 1996-9022	19960322
AT 405606	B	19991025		
JP 11502848	T2	19990309	JP 1996-529533	19960322
TW 426526	B	20010321	TW 1996-85103453	19960322
EP 1093815	A1	20010425	EP 2000-204708	19960322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CH 691217	A	20010531	CH 1997-2246	19960322
AT 206924	E	20011115	AT 1996-301997	19960322
EE 3551	B1	20011217	EE 1997-328	19960322
ES 2164837	T3	20020301	ES 1996-301997	19960322
PT 733367	T	20020328	PT 1996-96301997	19960322
IL 117611	A1	20020523	IL 1996-117611	19960322
RO 118370	B1	20030530	RO 1997-1776	19960322
SK 283745	B6	20031202	SK 1997-1282	19960322
SE 9703206	A	19970905	SE 1997-3206	19970905
LT 4350	B	19980525	LT 1997-149	19970916
FI 9703749	A	19970922	FI 1997-3749	19970922
NO 9704363	A	19971117	NO 1997-4363	19970922
DK 9701090	A	19971112	DK 1997-1090	19970923
DK 173323	B1	20000724		
LV 11983	B	19980720	LV 1997-199	19971014
PRIORITY APPLN. INFO.:			US 1995-410465 A	19950324
			EP 1996-301997 A3	19960322
			WO 1996-US3918 W	19960322

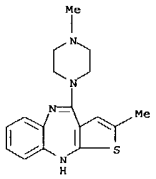
L12 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB The invention provides a pharmaceutically elegant solid oral formulation of olanzapine and a process for making such formulation. The formulation comprises olanzapine as an active ingredient intimately mixed with a bulking agent, binder, disintegrant, and a lubricant; wherein such solid oral formulation is coated with a polymer selected from the group consisting of hydroxypropyl Me cellulose, sodium CM-cellulose, hydroxypropyl cellulose, polyvinylpyrrolidone, dimethylaminoethyl methacrylate-Me acrylate copolymer, Et acrylate-Me methacrylate copolymer, Me cellulose, and Et cellulose. A tablet contained olanzapine 1, lactose 67.43, hydroxypropyl cellulose 3.4, Crospovidone 4.25, microcryst. cellulose 8.5, Mg stearate 0.42, hydroxypropyl Me cellulose (as subcoating agent) 1.7, color mixture (as coating agent) 3.47 mg/tablet, Carnauba wax (as polishing agent) trace, and edible Blue ink (for imprinting) trace.

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oral olanzapine formulation)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

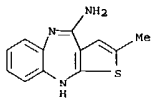


IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(oral olanzapine formulation)

RN 138564-60-0 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

L12 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:656468 CAPLUS
DOCUMENT NUMBER: 125:301028
TITLE: Preparation of olanzapine solvates
INVENTOR(S): Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Hotten, Terrence Michael; Larsen, Samuel Dean; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Co., USA; Lilly Industries Ltd.
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733634	A1	19960925	EP 1996-301999	19960322
EP 733634	B1	20001122		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5631250	A	19970520	US 1995-410474	19950324
US 5703232	A	19971230	US 1996-586431	19960116
WO 9630374	A1	19961003	WO 1996-US3854	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9652578	A1	19961016	AU 1996-52578	19960322
AU 9654279	A1	19961016	AU 1996-54279	19960322
AU 706471	B2	19990617		
GB 2313835	A1	19971210	GB 1997-19819	19960322
GB 2313835	B2	19980916		
DE 19681286	T	19980402	DE 1996-19681286	19960322
BR 9607790	A	19980707	BR 1996-7790	19960322
JP 11502535	T2	19990302	JP 1996-529532	19960322
AT 9609021	A	20000115	AT 1996-9021	19960322
AT 406771	B	20000825		
IL 117613	A1	20000716	IL 1996-117613	19960322
AT 197711	E	20001215	AT 1996-301999	19960322
ES 2151991	T3	20010116	ES 1996-301999	19960322
PT 733634	T	20010430	PT 1996-96301999	19960322
EE 3489	B1	20010815	EE 1997-232	19960322
PL 183723	B1	20020731	PL 1996-322501	19960322
CZ 292688	B6	20031112	CZ 1997-3000	19960322
SE 9703205	A	19970905	SE 1997-3205	19970905
FI 9703750	A	19970922	FI 1997-3750	19970922
NO 9704365	A	19970922	NO 1997-4365	19970922
DK 9701089	A	19971112	DK 1997-1089	19970923
GR 3035355	T3	20010531	GR 2001-400180	20010202

PRIORITY APPLN. INFO.: US 1995-409566 A 19950324
US 1995-410474 A 19950324
WO 1996-US3854 W 19960322
WO 1996-US3917 W 19960322

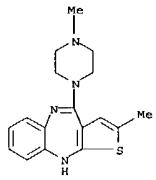
AB The invention provides MeOH, EtOH, and PrOH solvates of olanzapine with improved properties characterized by x-ray spectra.

IT **132539-06-1P**, Olanzapine

L12 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of olanzapine solvates)

RN 132539-06-1 CAPLUS

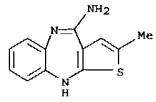
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of olanzapine solvates)

RN 138564-60-0 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

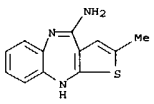


● HCl

L12 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:644040 CAPLUS
DOCUMENT NUMBER: 125:275918
TITLE: Preparation of crystalline olanzapine
INVENTOR(S): Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Larsen, Samuel Dean
PATENT ASSIGNEE(S): Eli Lilly and Co., USA; Lilly Industries Ltd.
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733635	A1	19960925	EP 1996-302000	19960322
EP 733635	B1	20010816		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2214005	AA	19961003	CA 1996-2214005	19960322
CA 2214005	C	20010703		
WO 9630375	A1	19961003	WO 1996-US3917	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9652578	A1	19961016	AU 1996-52578	19960322
AU 9654279	A1	19961016	AU 1996-54279	19960322
AU 706471	B2	19990617		
ZA 9602342	A	19970922	ZA 1996-2342	19960322
ZA 9602344	A	19970922	ZA 1996-2344	19960322
GB 2313835	A1	19971210	GB 1997-19819	19960322
GB 2313835	B2	19980916		
DE 19681286	T	19980402	DE 1996-19681286	19960322
CN 1179160	A	19980415	CN 1996-192775	19960322
CN 1065536	B	20010509		
BR 9607790	A	19980707	BR 1996-7790	19960322
JP 11502535	T2	19990302	JP 1996-529532	19960322
AT 9609021	A	20000115	AT 1996-9021	19960322
AT 406771	B	20000825		
AP 828	A	20000428	AP 1997-1065	19960322
W: KE, LS, MW, SD, SZ, UG				
CH 690579	A	20001031	CH 1997-2245	19960322
EP 1095941	A1	20010502	EP 2000-203573	19960322
EP 1095941	B1	20031008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
TW 442488	B	20010623	TW 1996-85103500	19960322
EE 3489	B1	20010815	EE 1997-232	19960322
IL 117610	A1	20010826	IL 1996-117610	19960322
AT 204280	E	20010915	AT 1996-302000	19960322
ES 2159346	T3	20011001	ES 1996-302000	19960322
PT 733635	T	20011228	PT 1996-96302000	19960322

L12 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

L12 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

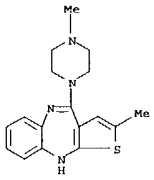
PL 183723	B1	20020731	PL 1996-322501	19960322
TW 513432	B	20021211	TW 1996-85103499	19960322
AT 251627	E	20031015	AT 2000-203573	19960322
CZ 292688	B6	20031112	CZ 1997-3000	19960322
SE 9703205	A	19970905	SE 1997-3205	19970905
LV 12018	B	19980920	LV 1997-163	19970908
LT 4349	B	19980525	LT 1997-148	19970916
FI 9703750	A	19970922	FI 1997-3750	19970922
NO 9704365	A	19970922	NO 1997-4365	19970922
DK 9701089	A	19971112	DK 1997-1089	19970923
HK 1013988	A1	20020705	HK 1998-115175	19981223

PRIORITY APPLN. INFO.:
US 1995-409566 A 19950324
US 1995-410474 A 19950324
EP 1996-302000 A3 19960322
WO 1996-US3854 W 19960322
WO 1996-US3917 W 19960322

AB The invention provides a pharmaceutically elegant stable polymorph of olanzapine by precipitation from EtOAc.

IT **132539-06-1P**, Olanzapine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystalline olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



IT **138564-60-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystalline olanzapine)

RN 138564-60-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride
(9CI) (CA INDEX NAME)

L12 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:83703 CAPLUS
DOCUMENT NUMBER: 116:83703
TITLE: Preparation of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine
INVENTOR(S): Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward
PATENT ASSIGNEE(S): Lilly Industries Ltd., UK
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 454436	A1	19911030	EP 1991-303679	19910424
EP 454436	B1	19950913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9175186	A1	19911107	AU 1991-75186	19910422
AU 643267	B2	19931111		
IL 97912	A1	19951031	IL 1991-97912	19910422
IL 112575	A1	19990817	IL 1991-112575	19910422
FI 9101986	A	19911026	FI 1991-1986	19910424
CA 2041113	AA	19911026	CA 1991-2041113	19910424
CA 2041113	C	19980714		
NO 9101624	A	19911028	NO 1991-1624	19910424
NO 178766	B	19960219		
NO 178766	C	19960529		
CN 1056693	A	19911204	CN 1991-103346	19910424
CN 1028429	B	19950517		
HU 60503	A2	19920928	HU 1991-1372	19910424
HU 212416	B	19960628		
ZA 9103085	A	19921230	ZA 1991-3085	19910424
JP 07089965	A2	19950404	JP 1991-228215	19910424
JP 2527860	B2	19960828		
CZ 279937	B6	19950913	CZ 1991-1168	19910424
ES 2078440	T3	19951216	ES 1991-303679	19910424
SK 279196	B6	19980708	SK 1991-1168	19910424
RU 2043992	C1	19950920	RU 1992-5052762	19920925
LV 10262	B	19950420	LV 1993-517	19930608
FI 9701316	A	19970327	FI 1997-1316	19970327

PRIORITY APPLN. INFO.:
GB 1990-9229 19900425
IL 1991-97912 19910422
FI 1991-1986 19910424

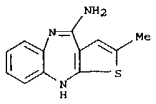
OTHER SOURCE(S): MARPAT 116:83703

AB Title compound (I) useful for treatment of a disorder of the central nervous system (no data) was prepared 4-Amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine-HCl (preparation given) was refluxed in N-methylpiperazine, DMSO and MePh, under N atmospheric for 20 h to give I. Pharmaceutical formulations containing I are given.

IT **138564-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of nervous system agent)

RN 138564-60-0 CAPLUS

L12 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 10H-Thieno[2,3-b][1,5]benzodiazepin-4-amine, 2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **132539-06-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as nervous system agent)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)